(previously presented) The ligand represented by structure 3:

$$R_{5}$$
 B
 A
 X
 X
 A'
 A'
 A_{3}

3

wherein

BEST AVAILABLE COPY

X and Y represent, independently for each occurrence, NR2, or PR2;

R, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thioamide, thioamate, urea, thiourea, or -(CH₂)_m-R₈₀;

 R_1 , R_2 , R_3 , and R_4 , for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, or aryl;

R₅ and R₆, for each occurrence, independently represent halogen, alkyl, alkenyl, or aryl;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R₅ and R₆, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R₁ and R₂, and/or R₃ and R₄, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R₈₀ represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive; and

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer.

10. (previously presented) The ligand of claim 9, wherein:

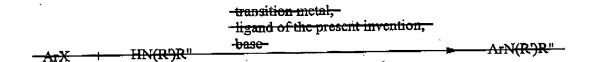
X and Y are not identical; and

R is selected, independently for each occurrence, from the group consisting of alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, and $-(CH_2)_m-R_{80}$.

- 11. (previously presented) The ligand of claim 9, wherein X is NR₂; and Y is PR₂.
- 12. (previously presented) The ligand of claim 11, wherein R is independently for each occurrence alkyl or cycloalkyl.

Claims 13-20 (canceled)

21. (currently amended) The A method depicted in Scheme 1: for forming a compound represented by ArN(R')R", comprising the step of:



-Scheme 1

combining a compound represented by ArX, a compound represented by HN(R')R", a transition metal, a ligand and a base; wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)₂alkyl, and -OS(O)₂aryl;

R' and R" are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxyl, amino, trialkylsilyl, and triarylsilyl;

R' and R", taken together, optionally form an unsubstituted or substituted ring consisting of 3-10 backbone atoms inclusive; of which atoms zero, one or two atoms are heteroatoms beyond the nitrogen to which R' and R" are bonded;

R' and/or R" may be covalently linked to Ar;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:

wherein

X and Y represent, independently for each occurrence, NR2 or PR2;

R, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thioamide, thioamate, urea, thiourea, or -(CH₂)_m-R₈₀;

R₁, R₂, R₃, and R₄, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, or aryl;

R₅ and R₆, for each occurrence, independently represent halogen, alkyl, alkenyl, or aryl;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R₅ and R₆, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

 R_1 and R_2 , and/or R_3 and R_4 , taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R₈₀ represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and the base is selected from the group consisting of hydrides, carbonates, phosphates, alkoxides, amides, carbanions, and silyl anions.

- (previously presented) The method of claim 21, wherein: 22. the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- (previously presented) The method of claim 21 or 22, wherein: 23. X is N(alkyl)2, and Y represents P(alkyl)2 or P(cycloalkyl)2; and X represents Cl or Br.
- (previously presented) The method of claim 21, wherein: 24. Y represents P(alkyl)2 or P(cycloalkyl)2; X represents N(alkyl)2; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- (previously presented) The method of claim 24, wherein: 25. X represents Cl or Br.
- (previously presented) The method of claim 21, wherein HN(R')R" represents 26. an optionally substituted heteroaromatic compound.

- 27. (previously presented) The method of claim 21, wherein: X represents Cl; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- 28. (previously presented) The method of claim 21, wherein: X represents Br or I; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; the base is an alkoxide, amide, phosphate, or carbonate; and the transformation occurs at room temperature.
- 29. (previously presented) The method of claim 21, wherein: R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- 30. (previously presented) The method of claim 21, wherein: X represents Cl; R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , and R_8 , independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- 31. (canceled)
- 32. (previously presented) The method of claim 21, wherein: the transition metal is palladium; and the base is an alkoxide or phosphate.
- 33. (previously presented) The method of claim 21, wherein: X represents Cl; R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈ represent hydrogen; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; and the base is an alkoxide or phosphate.
- 34. (previously presented) The method of claim 21, wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈ represent hydrogen; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; and the base is sodium tert-butoxide or potassium phosphate.
- 35. (original) The method of claim 21, wherein the product is provided in a yield of greater than 50%.
- 36. (original) The method of claim 21, wherein the product is provided in a yield of greater than 70%.

12/17/2004 13:20

- 37. (original) The method of claim 21, wherein the product is provided in a yield of greater than 85%.
- 38. (original) The method of claim 21, wherein the reaction occurs at ambient temperature.
- 39. (currently amended) The method of claim 21, wherein the eatalyst complex is transition metal and the ligand are independently present in less than 0.01 mol% relative to the limiting reagent.
- 40. (currently amended) The method of claim 21, wherein the catalyst complex is transition metal and the ligand are independently present in less than 0.0001 mol% relative to the limiting reagent.
- 41. (currently amended) The A method depicted in Scheme 2: for forming a compound represented by Ar-Ar', comprising the step of:

-transition metal,
-ligand of the present invention,
-base-base-ArX + Ar'B(OH)₂
-ArX -Ar'

-Scheme 2

combining a compound represented by ArX, a compound represented by Ar'B(OH)2, a transition metal, a ligand and a base; wherein

Ar and Ar' are independently selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -OS(O)₂alkyl, and -OS(O)₂aryl;

'Ar and Ar' may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:

3

wherein

X and Y represent, independently for each occurrence, NR2, or PR2;

R, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thioamide, thioamate, urea, thiourea, or -(CH₂)_m-R₈₀;

R₁, R₂, R₃, and R₄, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, or aryl;

R₅ and R₆, for each occurrence, independently represent halogen, alkyl, alkenyl, or aryl;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6 , respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R₁ and R₂, and/or R₃ and R₄, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

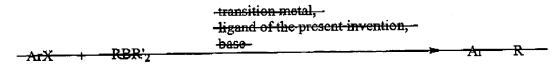
R₈₀ represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and the base is selected from the group consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

- 42. (previously presented) The method of claim 41, wherein the transition metal is palladium; and the base is an alkoxide, amide, fluoride, phosphate, or carbonate.
- 43. (previously presented) The method of claim 41 or 42, wherein X is NR₂, and Y represents P(alkyl)₂ or P(cycloalkyl)₂; and X represents Cl or Br.
- 44. (previously presented) The method of claim 41, wherein:
 the transition metal is palladium;
 Y represents P(alkyl)₂ or P(alkyl)₂; X represents N(alkyl)₂; and
 the base is an alkoxide, amide, carbonate, phosphate, or fluoride.
- 45. (previously presented) The method of claim 44, wherein:X represents Cl or Br; andthe reaction occurs at room temperature.
- 46. (previously presented) The method of claim 41, wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , and R_8 represent hydrogen; Y represents $P(t-Bu)_2$ or PCy_2 ; X represents NMe_2 ; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

- 47. (original) The method of claim 41, wherein the product is provided in a yield of greater than 50%.
- 48. (original) The method of claim 41, wherein the product is provided in a yield of greater than 70%.
- 49. (original) The method of claim 41, wherein the product is provided in a yield of greater than 85%.
- 50. (original) The method of claim 41, wherein the reaction occurs at ambient temperature.
- 51. (currently amended) The method of claim 41, wherein the eatalyst complex is transition metal and the ligand are independently present in less than 0.01 mol% relative to the limiting reagent.
- 52. (currently amended) The method of claim 41, wherein the catalyst complex is transition metal and the ligand are independently present in less than 0.0001 mol% relative to the limiting reagent.
- 53. (currently amended) The A method depicted in Scheme 3: for forming a compound represented by Ar-R, comprising the step of:



-Seheme 3-

combining a compound represented by ArX, a compound represented by RBR'2, a transition metal, a ligand and a base; wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

R is selected from the group consisting of optionally substituted alkyl, heteroalkyl, and aralkyl;

R' is selected, independently for each occurrence, from the group consisting of alkyl and heteroalkyl; the carbon-boron bond of said alkyl and heteroalkyl groups being inert under the reaction conditions;

FOLEY HOAG LLP

X is selected from the group consisting of Cl, Br, I, -OS(O)2alkyl, and -OS(O)2aryl;

Ar and R may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:

3

wherein

X and Y represent, independently for each occurrence, NR2, or PR2;

R, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine,

carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or -(CH₂)_m-R₈₀;

R₁, R₂, R₃, and R₄, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, or aryl;

R₅ and R₆, for each occurrence, independently represent halogen, alkyl, alkenyl, or aryl;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R₅ and R₆, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R₁ and R₂, and/or R₃ and R₄, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R₈₀ represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

- 54. (previously presented) The method of claim 53, wherein the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- 55. (previously presented) The method of claim 53 or 54, wherein:

 X is NR₂, and Y represents P(alkyl)₂ or P(cycloalkyl)₂; and

 X represents Cl or Br.
- 56. (previously presented) The method of claim 53, whereinX represents Cl or Br;

- the transition metal is palladium; and the base is an alkoxide, amide, carbonate, phosphate, or fluoride.
- 57. (previously presented) The method of claim 53, wherein

 R₁ and R₂ are absent; Y represents PCy₂, and X represents NMe₂; and

 X represents Cl.
- 58. (previously presented) The method of claim 53, wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈ represent hydrogen; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.
- 59. (original) The method of claim 53, wherein the product is provided in a yield of greater than 50%.
- 60. (original) The method of claim 53, wherein the product is provided in a yield of greater than 70%.
- 61. (original) The method of claim 53, wherein the product is provided in a yield of greater than 85%.
- 62. (original) The method of claim 53, wherein the reaction occurs at ambient temperature.
- 63. (currently amended) The method of claim 53, wherein the eatalyst complex is transition metal and the ligand are independently present in less than 0.01 mol% relative to the limiting reagent.
- 64. (currently amended) The method of claim 53, wherein the catalyst complex is transition metal and the ligand are independently present in less than 0.0001 mol% relative to the limiting reagent.
- 65. (currently amended) The A method depicted in Scheme 4: for forming a compound represented by RC(O)C(R')(R")Ar, comprising the step of:

combining a compound represented by ArX, a compound represented by RC(O)CH(R')R", a transition metal, a ligand and a base; wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

R, R', and R" are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aralkyl, aryl, heteroaryl;

X is selected from the group consisting of Cl, Br, I, -OS(O)₂alkyl, and -OS(O)₂aryl;

Ar and one of R, R', and R" may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by 3:

3

wherein

12/17/2004

13:20

X and Y represent, independently for each occurrence, NR2, or PR2;

R, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or -(CH2)m-R80;

R₁, R₂, R₃, and R₄, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, or aryl;

R5 and R6, for each occurrence, independently represent halogen, alkyl, alkenyl, or aryl;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R5 and R6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R₁ and R₂, and/or R₃ and R₄, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R₈₀ represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

- 66. (previously presented) The method of claim 65, wherein the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.
- 67. (previously presented) The method of claim 65 or 66, wherein X is NR₂, and Y represents P(alkyl)₂ or P(cycloalkyl)₂; and X represents Cl or Br.
- 68. (previously presented) The method of claim 65, wherein

 X represents Cl or Br;

 the transition metal is palladium; and

 the base is an alkoxide, or amide.
- 69. (previously presented) The method of claim 65, wherein R₁ and R₂ are absent; Y represents PCy₂, and X represents NMe₂.
- 70. (original) The method of claim 65, whereinX represents Br; andthe reaction occurs at room temperature.

12/17/2004 13:20

- 71. (previously presented) The method of claim 65, wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈ represent hydrogen; Y represents P(t-Bu)₂ or PCy₂; X represents NMe₂; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.
- 72. (original) The method of claim 65, wherein the product is provided in a yield of greater than 50%.
- 73. (original) The method of claim 65, wherein the product is provided in a yield of greater than 70%.
- 74. (original) The method of claim 65, wherein the product is provided in a yield of greater than 85%.
- 75. (original) The method of claim 65, wherein the reaction occurs at ambient temperature.
- 76. (currently amended) The method of claim 65, wherein the eatalyst complex is transition metal and the ligand are independently present in less than 0.01 mol% relative to the limiting reagent.
- 77. (currently amended) The method of claim 65, wherein the eatalyst complex is transition metal and the ligand are independently present in less than 0.0001 mol% relative to the limiting reagent.
- 78. (canceled)
- 79. (previously presented) The method of claim 21, 41, 53, or 65, wherein X is chloride.

Claims 80-87 (canceled)

This Page is Inserted by IFW Indexing and Scanning Operations and is not part of the Official Record

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:
☐ BLACK BORDERS
☐ IMAGE CUT OFF AT TOP, BOTTOM OR SIDES
☐ FADED TEXT OR DRAWING
☐ BLURRED OR ILLEGIBLE TEXT OR DRAWING
☐ SKEWED/SLANTED IMAGES
COLOR OR BLACK AND WHITE PHOTOGRAPHS
GRAY SCALE DOCUMENTS
☑ LINES OR MARKS ON ORIGINAL DOCUMENT
☐ REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY
Потигр.

IMAGES ARE BEST AVAILABLE COPY.

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.